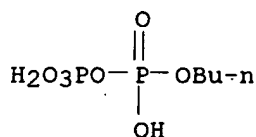


01/8729

1 mai

ACCESSION NUMBER: 1974:523954 CAPLUS  
DOCUMENT NUMBER: 81:123954  
TITLE: Thermal stability and antiseize properties of some  
phosphorus-containing lubricating oil additives  
AUTHOR(S): Kharchenko, L. S.; Kupko, G. G.; Rykhlevskii, G. M.;  
Tordash, Yu. T.  
CORPORATE SOURCE: USSR  
SOURCE: Khim. Tekhnol. Topl. Masel (1974), (1), 46-8  
CODEN: KTPMAG  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
AB Mixed anhydrides of dithiophosphoric acid and its salts, contg. Sb and  
Si,  
were studied to det. their thermal stability and antiwear properties. An  
interdependence was established between some of their structural  
characteristics, such as valency of the central P atom, radical  
structure,  
presence of thione S and O, and thermal stability. The higher antiseize  
properties were provided by mixed anhydrides of dialkyl dithiophosphates  
and P-contg. acids with tri- and tetracoordinated P atom; the crit. loads  
of H3PO4 derivs. being somewhat higher than those of phosphorous acid  
derivs.  
IT 52811-47-9  
RL: USES (Uses)  
(antiseize additives and thermal stabilizers, for lubricating oil)  
RN 52811-47-9 CAPLUS  
CN Diphosphoric acid, monobutyl ester (9CI) (CA INDEX NAME)



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ACCESSION NUMBER: 1997:164802 CAPLUS  
 DOCUMENT NUMBER: 126:141410  
 TITLE: Site-Specific Photomodification of DNA by  
 Porphyrin-Oligonucleotide Conjugates Synthesized via  
 a Solid Phase H-Phosphonate Approach  
 AUTHOR(S): Li, Handong; Fedorova, Olga S.; Trumble, William R.;  
 Fletcher, T. Rick; Czuchajowski, Leszek  
 CORPORATE SOURCE: Department of Chemistry and Department of  
 Microbiology Molecular Biology and Biochemistry, University of  
 Idaho, Moscow, ID, 83843, USA  
 SOURCE: Bioconjugate Chem. (1997), 8(1), 49-56  
 CODEN: BCCHES; ISSN: 1043-1802  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB

Meso-Tris(4-pyridyl)[[(omega.-hydroxyhexamethylene)carbamoyl]phenyl]porphyrin was converted to its H-phosphonate deriv. and conjugated using solid phase synthesis with the 5'-hydroxyl group of deoxyribonucleotides d(TCTTCCCA) and d(T)12. These conjugates were transformed into their (N-methylpyridiniumyl)porphyrin analogs in the reaction with Me iodide.

A

532 nm laser beam was utilized to photoactivate both types of the conjugates in the presence of the target 22-mer and 16-mer oligonucleotides. Photoactivation of porphyrin-oligonucleotide conjugates resulted in site-specific DNA modification characterized by a main reaction site size of .apprx.5 bases.

IT

186583-97-1P

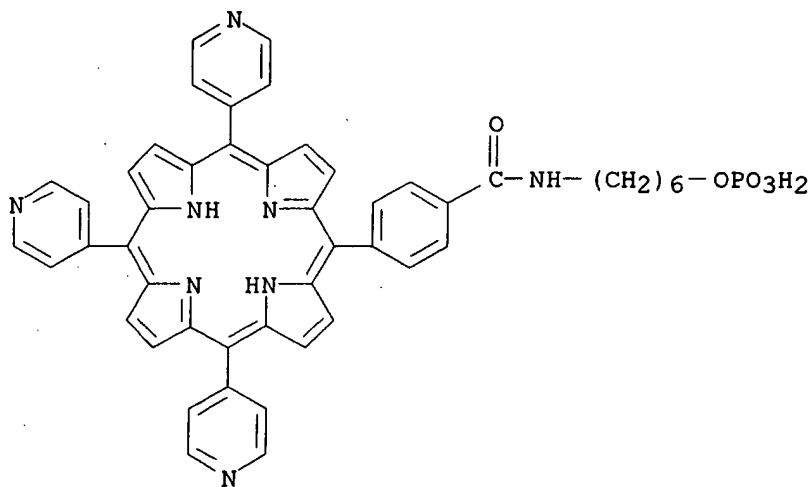
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (site-specific photomodification of DNA by porphyrin-oligonucleotide  
 conjugates synthesized via solid phase H-phosphonate approach)

RN

186583-97-1 CAPLUS

CN

Benzamide, N-[6-(phosphonoxy)hexyl]-4-(10,15,20-tri-4-pyridinyl-21H,23H-porphin-5-yl)- (9CI) (CA INDEX NAME)



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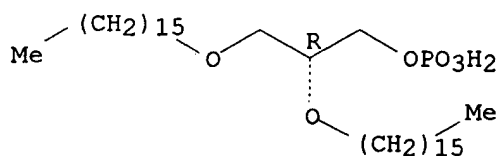
3

ACCESSION NUMBER: 1977:453513 CAPLUS  
DOCUMENT NUMBER: 87:53513  
TITLE: The synthesis of phosphoramidates from  
silylphosphites and azides  
AUTHOR(S): Gibbs, Don E.  
CORPORATE SOURCE: Salk Inst. Biol. Stud., San Diego, Calif., USA  
SOURCE: Tetrahedron Lett. (1977), (8), 679-82  
CODEN: TELEAY  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Condensation of silyl phosphites with azides gave phosphoramidates.  
E.g.,  
(EtO)<sub>2</sub>POSiMe<sub>3</sub> with PhN<sub>3</sub> gave (EtO)<sub>2</sub>P(O)NHPh. 5'-Azido-5'-deoxythymidine  
with thymidine 3'-phosphite and MeC(:NSiMe<sub>3</sub>)OSiMe gave 82%  
thymidyl-(3'-5')-5'-amino-5'-deoxythymidine.  
IT 63542-06-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 63542-06-3 CAPLUS  
CN Phosphoramidic acid, octyl- (9CI) (CA INDEX NAME)

Me-(CH<sub>2</sub>)<sub>7</sub>-NH-PO<sub>3</sub>H<sub>2</sub>

ACCESSION NUMBER: 1980:53762 CAPLUS  
 DOCUMENT NUMBER: 92:53762  
 TITLE: The influence of charge on bilayer membranes.  
 Calorimetric investigations of phosphatidic acid  
 bilayers  
 AUTHOR(S): Blume, Alfred; Eibl, Hansjoerg  
 CORPORATE SOURCE: Inst. Phys. Chem. II, Freiburg/Br., D-7800, Fed. Rep.  
 Ger.  
 SOURCE: Biochim. Biophys. Acta (1979), 558(1), 13-21  
 CODEN: BBACAQ; ISSN: 0006-3002  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The pH dependence of the phase transition of dimyristoylphosphatidic acid  
 and dihexadecylphosphatidic acid was investigated using differential  
 scanning calorimetry. Varying the pH induced different degrees of  
 ionization of the polar head group. The changes in transition temp. with  
 pH as obsd. by calorimetry were in good agreement with those obtained by  
 measuring the changes in light scattering. The obsd. max. of the  
 transition temp. at pH 3.5 corresponded to a min. in the transition  
 enthalpy vs. pH diagram. At this pH a particular stable bilayer phase  
 was formed. Full protonation of phosphatidic acids led to suspensions of  
 microcrystals. The transition enthalpy approached the value of the  
 melting enthalpy of cryst. anhyd. phosphatidic acid. The decrease in the  
 transition enthalpy at high pH values resulted from a change in the  
 hydrocarbon chain interactions induced by the doubly charged head groups.  
 The cooperativity of the transition varied with the degree of ionization  
 of the head group, being lower for doubly charged phosphatidic acids.  
 IT 36405-52-4  
 RL: BIOL (Biological study)  
 (membrane bilayers, phase transition and transition enthalpy of, head  
 group ionization effect on)  
 RN 36405-52-4 CAPLUS  
 CN 1-Propanol, 2,3-bis(hexadecyloxy)-, dihydrogen phosphate, (R)- (9CI) (CA  
 INDEX NAME)

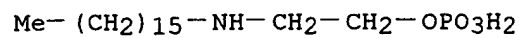
Absolute stereochemistry.



01/08729

5

ACCESSION NUMBER: 1998:750143 CAPLUS  
DOCUMENT NUMBER: 130:126594  
TITLE: Study on new amphoteric surfactants of phosphates I.  
Syntheses and properties  
AUTHOR(S): Wei, Shaohua; Zhang, Zhuyong  
CORPORATE SOURCE: Dep. Chem., Nanjing Normal Univ., 210097, Peop. Rep.  
China  
SOURCE: Jingxi Huagong (1998), 15(5), 1-5  
CODEN: JIHUFJ; ISSN: 1003-5214  
PUBLISHER: Jingxi Huagong Bianjibu  
DOCUMENT TYPE: Journal  
LANGUAGE: Chinese  
AB A series of new amphoteric surfactants (RNH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OP-OH) was prepd. from  
alkyl bromide, aminoethanol, and phosphorus pentoxide. These surfactants  
show zwitterionic characteristics at pH 4.5- 8.4. They had excellent  
surface properties (.gamma.CMC = 25.5 mN.cntdot.m-1, CMC = 1.51 x 10-3  
mol.cntdot.L-1) and excellent foaming and wetting property over a wide pH  
range (6.apprx.10).  
IT 115667-63-5P  
RL: NUU (Nonbiological use, unclassified); PRP (Properties); SPN  
(Synthetic preparation); PREP (Preparation); USES (Uses)  
(surfactants; prepn. and properties of)  
RN 115667-63-5 CAPLUS  
CN Ethanol, 2-(hexadecylamino)-, dihydrogen phosphate (ester) (9CI) (CA  
INDEX NAME)



L19 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:859470 CAPLUS

DOCUMENT NUMBER: 134:174618

TITLE: A versatile periodate-coupled fluorogenic assay for hydrolytic enzymes

AUTHOR(S): Badalassi, Fabrizio; Wahler, Denis; Klein, Gerard; Crotti, Paolo; Reymond, Jean-Louis

CORPORATE SOURCE: Dipartimento di Chimica Bioorganica e Biofarmacia  
Universita di Pisa, Pisa, 56126, Italy

SOURCE: Angew. Chem., Int. Ed. (2000), 39(22), 4067-4070  
CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The development of new catalysts is being increasingly followed by using combinatorial and evolutionary methods. These approaches require the ability to assay large nos. of samples in parallel. Here, a new versatile

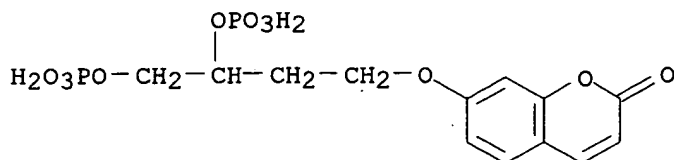
fluorogenic assay for hydrolytic enzymes is reported. The assay couples product formation to the release of a fluorescent signal, achieved via periodate oxidn. and albumin-catalyzed .beta.-elimination, and uses non-activated, chiral substrates.

IT 326595-97-5

RL: ARG (Analytical reagent use); BPR (Biological process); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses) (prepn. of substrates for a versatile periodate-coupled fluorogenic assay for hydrolytic enzymes)

RN 326595-97-5 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[3,4-bis(phosphonoxy)butoxy]- (9CI) (CA INDEX NAME)

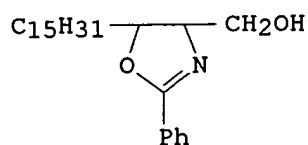


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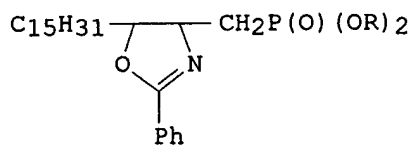
REFERENCE(S):

- (1) Beisson, F; Eur J Lipid Sci Technol 2000, P133 CAPLUS
  - (2) Beisson, F; J Lipid Res 1999, V40, P2313 CAPLUS
  - (5) Berkessel, A; Angew Chem Int Ed 1999, V38, P102 CAPLUS
  - (7) Chen, X; J Org Chem 1993, V58, P5528 CAPLUS
  - (8) Chini, M; Tetrahedron Lett 1994, V35, P433 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1983:405425 CAPLUS  
 DOCUMENT NUMBER: 99:5425  
 TITLE: Synthesis of rac-3-benzoyl-1-deoxyceramide-1-phosphonic acid  
 AUTHOR(S): Bushnev, A. S.; Tazabekova, N. T.; Nikolaevskaya, I. V.; Zvonkova, E. N.; Evstigneeva, R. P.  
 CORPORATE SOURCE: M. V. Lomonosov Inst. Fine Chem. Technol., Moscow, USSR  
 SOURCE: Bioorg. Khim. (1983), 9(4), 553-5  
 CODEN: BIKHD7  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 GI

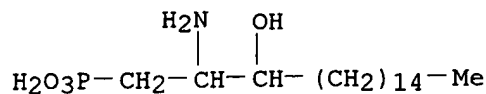


I



II

AB I was mesylated, treated with NaI, then with P(OR)<sub>3</sub> to give II (R = Et, Bu), which was cleaved with H<sub>2</sub>SO<sub>4</sub> to give  
 $\text{C}_{15}\text{H}_{31}\text{CH}(\text{OBz})\text{CH}(\text{NH}_2)\text{CH}_2\text{P}(\text{O})(\text{OR})_2$   
 .1/2H<sub>2</sub>SO<sub>4</sub>, which was acylated with stearoyl chloride, then hydrolyzed in two steps to give (+-)- $\text{C}_{15}\text{H}_{31}\text{CH}(\text{OH})\text{CH}(\text{NH}_2)\text{CH}_2\text{P}(\text{O})(\text{OH})_2$ .  
 IT **86091-99-8P**  
 RL: RCT (Reactant); PREP (Preparation)  
 (synthesis of)  
 RN 86091-99-8 CAPLUS  
 CN Phosphonic acid, (2-amino-3-hydroxyoctadecyl)- (9CI) (CA INDEX NAME)



8

L35 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1971:471703 CAPLUS  
DOCUMENT NUMBER: 75:71703  
TITLE: Phosphorus-nitrogen compounds. 12. Phosphamidase studies. 2. N-alkylphosphoramidic acids  
AUTHOR(S): Cates, Lindley A.  
CORPORATE SOURCE: Coll. Pharm., Univ. Houston, Houston, Tex., USA  
SOURCE: J. Med. Chem. (1971), 14(7), 647-9  
CODEN: JMCMAR  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB The N-alkylphosphoramidic acids,  $\text{RPO(OH)}_2$ , were prepd. from the corresponding phosphoramidic dichlorides by alkaline hydrolysis and tested as substrates for bovine phosphamidase. They exhibited a relatively low order of reactivity towards the enzyme. The most active substrates were phosphorodiamides or phosphorotriamides.  
IT 33876-47-0  
RL: RCT (Reactant)  
(reaction of, with phosphoamidase)  
RN 33876-47-0 CAPLUS  
CN Phosphoramidic acid, hexyl- (8CI) (CA INDEX NAME)

$\text{Me-(CH}_2)_5\text{-NH-PO}_3\text{H}_2$



ACCESSION NUMBER: 1992:221452 CAPLUS  
 DOCUMENT NUMBER: 116:221452  
 TITLE: Timolol in lipospheres  
 AUTHOR(S): Gasco, M. R.; Cavalli, R.; Carlotti, M. E.  
 CORPORATE SOURCE: Dip. Sci. Tecnol. Farm., Univ. Torino, Turin, 10135, Italy  
 SOURCE: Pharmazie (1992), 47(2), 119-21  
 CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Lipospheres carrying timolol (I) were obtained from microemulsions. They had lecithin and palmitic and decanoic acids as the main constituents. The sizes were between 300 and 400 nm and the amt. of I incorporated varied from 2.7 to 4.8% according to the microemulsion used. Compd. I

was present in the lipospheres mainly as ion pairs in order to increase its lipophilicity. The difference found in the incorporation was principally due to the different lipophilicity of the ion pairs of I.

IT 3921-30-0, Decyl phosphate  
 RL: BIOL (Biological study)  
 (timolol lipospheres contg., prepn. and stability of)

RN 3921-30-0 CAPLUS

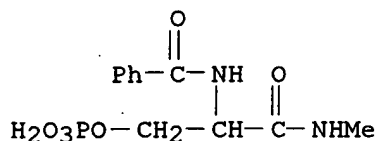
CN Phosphoric acid, monodecyl ester (8CI, 9CI) (CA INDEX NAME)

H<sub>2</sub>O<sub>3</sub>PO- (CH<sub>2</sub>)<sub>9</sub>-Me

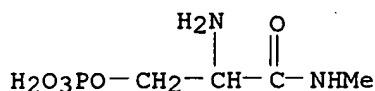
1/08729

10

ACCESSION NUMBER: 1972:34548 CAPLUS  
 DOCUMENT NUMBER: 76:34548  
 TITLE: Hydrolysis of phosphoric ester serine derivatives containing free amino or carboxylic groups  
 AUTHOR(S): Avaeva, S. M.; Sklyankina, V. A.; Kolesnikova, V. Yu.  
 CORPORATE SOURCE: USSR  
 SOURCE: Vestn. Mosk. Univ., Khim. (1971), 12(5), 627-8  
 CODEN: VMUKA5  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 AB (HO)2P(O)OCH2CH(NH2)CONHMe (I), (HO)2P(O)OCH2CH(NHAc)CO2H (II), (HO)2P(O)OCH2CH(NH2)CO2H (III) and (HO)2P(O)OCH2CH(NHBz)CONHMe (IV) were hydrolyzed in M and 5.5M HClO4, in mild acid (pH 1-7), and mild alk. (pH 7-12.5) media at 85-100.degree.. Compds. with a free amino group [O-phosphoserine methylamide (I) and O-phosphoserine (III)] hydrolyzed at an increased rate at pH 4 whereas the compds. with the amino group acetylated [N-acetyl-O-phosphoserine (II) and N-benzoyl-O-phosphoserine methylamide (IV)] had no max. rate.  
 IT 14406-99-6 34965-63-4  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties);  
 RCT (Reactant); PROC (Process)  
 (hydrolysis of, kinetics of)  
 RN 14406-99-6 CAPLUS  
 CN Benzamide, N-[2-(methylamino)-2-oxo-1-[(phosphonooxy)methyl]ethyl]- (9CI)  
 (CA INDEX NAME)



RN 34965-63-4 CAPLUS  
 CN Propanamide, 2-amino-N-methyl-3-(phosphonooxy)- (9CI) (CA INDEX NAME)

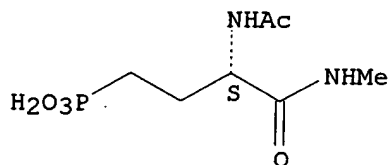


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ACCESSION NUMBER: 1992:236128 CAPLUS  
DOCUMENT NUMBER: 116:236128  
TITLE: Synthesis of the simple peptide model  
Ac-Abu(PO3H2)-NHMe  
AUTHOR(S): Valerio, Robert M.; Perich, John W.; Alewood, Paul  
F.;  
CORPORATE SOURCE: Tong, Glenn; Johns, R. B.  
Sch. Chem., Univ. Melbourne, Parkville, 3052,  
Australia  
SOURCE: Aust. J. Chem. (1992), 45(4), 777-84  
CODEN: AJCHAS; ISSN: 0004-9425  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The simple model substrate Ac-L-Abu(PO3H2)-NHMe [Abu(PO3H2) = NHCH(CH2CH2PO3H2)CO] was prepd. by the use of the protected 4-(diethylphosphono)butanoic acid deriv. Boc-Abu(PO3Et2)-OH (Boc = Me3CO2C) in the Boc mode of soln. phase peptide synthesis. The protected peptide model Ac-Abu(PO3Et2)-NHMe was prepd. by initial reaction of the isobutoxycarbonyl mixed anhydride of Boc-Abu(PO3Et2)-OH with MeNH2 followed by cleavage of the Boc group from Boc-Abu(PO3Et2)-NHMe with 4 M HCl/dioxane and N-acetylation of H-Abu(PO3Et2)-NHMe.HCl with the isobutoxycarbonyl mixed anhydride of AcOH. Cleavage of the phosphonate  
Et groups was effected with 33% HBr/AcOH or 10% BrSiMe3/MeCN to give Ac-L-Abu(PO3H2)-NHMe in nearly quant. yield.  
IT 141340-66-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 141340-66-1 CAPLUS  
CN Phosphonic acid, [3-(acetylamino)-4-(methyldamino)-4-oxobutyl]-, (S)-  
(9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER:

1996:618920 CAPLUS

DOCUMENT NUMBER:

126:16188

TITLE:

Synthesis, structure-activity relationships, and the effect of polyethylene glycol on inhibitors of phosphatidylinositol-specific phospholipase C from *Bacillus cereus*

AUTHOR(S):

Ryan, Margret; Smith, Miles P.; Vinod, Thottumkara

K.;

CORPORATE SOURCE:

Lau, Wai Leung; Keana, John F. W.; Griffith, O. Hayes  
Department of Chemistry, University of Oregon,

Eugene,

SOURCE:

OR, 97403-1229, USA

PUBLISHER:

J. Med. Chem. (1996), 39(22), 4366-4376

DOCUMENT TYPE:

CODEN: JMCMAR; ISSN: 0022-2623

LANGUAGE:

American Chemical Society

Journal

English

AB Substrate analog inhibitors of *B. cereus* phosphatidylinositol-specific phospholipase C (PI-PLC) were synthesized and screened for their suitability to map the active site region of the enzyme by protein crystallog. Analogs of the natural substrate, phosphatidylinositol (PI), were designed to examine the importance of the lipid portion and the inositol phosphate head group for binding to the enzyme. The synthetic compds. contained pentyl, hexyl, or hexanoyl and octyl lipid chains at

the sn-1 and sn-2 positions of the glycerol backbone and phosphonoinositol, phosphonic acid, Me phosphonate, phosphatidic acid, or Me phosphate at

the sn-3 position. The most hydrophobic compd., dioctyl Me phosphate, was also the best inhibitor with an IC<sub>50</sub> of 12  $\mu$ M. In a series of dihexyl lipids, compds. with phosphonoinositol head groups inhibited more

strongly than those that did not contain inositol but were otherwise identical. A short-chain lipid with a phosphonoinositol head group was found to be a competitive inhibitor and the most potent in this series with an IC<sub>50</sub> of 18  $\mu$ M (K<sub>i</sub> = 14  $\mu$ M). Analogs with dihexyl chains were better inhibitors than those with dihexanoyl chains, presumably because the ether-linked lipids were more hydrophobic than the ester-linked lipids. No appreciable difference in inhibition was found between a phosphonoinositol lipid and the corresponding difluorophosphonoinositol lipid. Inositols and inositol derivs. that did not contain lipid

moieties showed IC<sub>50</sub> values approx. 3 orders of magnitude above those of the short-chain lipids. In this group, glucosaminyl(.alpha.1.fwdarw.6)-D-myo-inositol inhibited more strongly than did myo-inositol, which in turn was a better inhibitor than inositol phosphate. The addn. of polyethylene glycol (PEG-600) resulted in a marked decrease in inhibition by the short-chain lipids, but had little effect on the water-sol. head group analogs. This was accounted for in terms of solubilization of the amphipathic inhibitors by PEG. Since PEG is required in crystn., these data indicate that the best strategy for obtaining enzyme inhibitor complexes is to start by cocrystg. PI-PLC with the head group analogs. The next step is to synthetically add the shortest possible hydrophobic moieties to the analogs and cocrystallize these with the enzyme. This strategy may be applicable to other lipolytic enzymes.

IT

183999-25-9

RL: BAC (Biological activity or effector, except adverse); BIOL  
(Biological study)

(structure-activity relations of inhibitors of phosphatidylinositol-  
specific phospholipase C from *Bacillus cereus*)

RN 183999-25-9 CAPLUS

CN Phosphonic acid, [3,4-bis(hexyloxy)butyl]- (9CI) (CA INDEX NAME)

